

**Translation**

**PATENT COOPERATION TREATY**

**PCT**

PCT/JP2003/012254



**INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY**  
(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference <b>FP2611PCT</b>	<b>FOR FURTHER ACTION</b> See Form PCT/IPEA/416	
International application No. <b>PCT/JP2003/012254</b>	International filing date (day/month/year) <b>25 September 2003 (25.09.2003)</b>	Priority date (day/month/year) <b>25 September 2002 (25.09.2002)</b>
International Patent Classification (IPC) or national classification and IPC <b>C07D 401/14, 403/14, 487/04, A61K 31/501, 31/5025, 31/506, A61P 1/04, 3/10, 9/10, 17/06, 19/02, 19/10, 25/00, 29/00, 101, 37/08, 43/00, 111</b>		
Applicant <b>UBE INDUSTRIES, LTD.</b>		

<p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of <u>4</u> sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input type="checkbox"/> (sent to the applicant and to the International Bureau) a total of _____ sheets, as follows:</p> <p><input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</p> <p><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</p> <p>b. <input type="checkbox"/> (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) _____, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p>	
<p>4. This report contains indications relating to the following items:</p> <p><input checked="" type="checkbox"/> Box No. I Basis of the report</p> <p><input type="checkbox"/> Box No. II Priority</p> <p><input type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p><input type="checkbox"/> Box No. IV Lack of unity of invention</p> <p><input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p><input type="checkbox"/> Box No. VI Certain documents cited</p> <p><input type="checkbox"/> Box No. VII Certain defects in the international application</p> <p><input type="checkbox"/> Box No. VIII Certain observations on the international application</p>	

Date of submission of the demand <b>24 March 2004 (24.03.2004)</b>	Date of completion of this report <b>06 December 2004 (06.12.2004)</b>
Name and mailing address of the IPEA/JP	Authorized officer
Facsimile No.	Telephone No.

# INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/JP2003/012254

## Box No. I Basis of the report

1. With regard to the language, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.

- ☐ This report is based on translations from the original language into the following language \_\_\_\_\_, which is language of a translation furnished for the purpose of:
- ☐ international search (under Rules 12.3 and 23.1(b))
  - ☐ publication of the international application (under Rule 12.4)
  - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)

2. With regard to the elements of the international application, this report is based on (replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report):

- ☒ The international application as originally filed/furnished
- ☐ the description:
- pages \_\_\_\_\_, as originally filed/furnished
- pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- ☐ the claims:
- pages \_\_\_\_\_, as originally filed/furnished
- pages\* \_\_\_\_\_, as amended (together with any statement) under Article 19
- pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- ☐ the drawings:
- pages \_\_\_\_\_, as originally filed/furnished
- pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- ☐ a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.

3. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages \_\_\_\_\_
- ☐ the claims, Nos. \_\_\_\_\_
- ☐ the drawings, sheets/figs \_\_\_\_\_
- ☐ the sequence listing (specify): \_\_\_\_\_
- ☐ any table(s) related to sequence listing (specify): \_\_\_\_\_

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

- ☐ the description, pages \_\_\_\_\_
- ☐ the claims, Nos. \_\_\_\_\_
- ☐ the drawings, sheets/figs \_\_\_\_\_
- ☐ the sequence listing (specify): \_\_\_\_\_
- ☐ any table(s) related to sequence listing (specify): \_\_\_\_\_

\* If item 4 applies, some or all of those sheets may be marked "superseded."

## INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No.

PCT/JP 03/12254

## V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

## 1. Statement

Novelty (N)	Claims	1-36	YES
	Claims		NO
Inventive step (IS)	Claims		YES
	Claims	1-36	NO
Industrial applicability (IA)	Claims	1-36	YES
	Claims		NO

## 2. Citations and explanations

Document 1: WO 00/39116 A1 (Teikoku Hormone Mfg. Co., Ltd.), 6 July 2000 & CA 2356263 A & EP 1142890 A1 & US 6511997 B1

Document 2: WO 95/31451 A1 (SmithKline Beecham Corp.), 23 November 1995 & US 5559137 A & JP 10-500413 A & EP 871622 A1 & US 5998425 A & US 6306883 B1

## Inventive step

The inventions set forth in claims 1-36 do not involve an inventive step in the light of documents 1 and 2.

Document 1 discloses, as compounds having a p38 MAP kinase inhibiting action, pyrazole derivatives having a substituted or unsubstituted phenyl group on position 3 of the pyrazole, a pyrazyl group on position 4 and an optionally substituted amine bound to position 5. It further discloses such pyrazole derivatives wherein there is a hydrogen atom, a substituted or unsubstituted lower alkyl group or a substituted or unsubstituted aryl group bound to one of the nitrogen atoms forming the pyrazole ring. These pyrazole derivatives differ from the compounds described in claims 1-33 in that in the compounds described in claims 1-33 it is specified that the nitrogen

atom bound to a specified group is in position 1 in the pyrazole ring, and in that there are restrictions as to the specified group. However, since the position of the bonding nitrogen atom is not specified in document 1, the disclosure therein should naturally be considered to include the case where the bond is on the nitrogen atom in position 1; moreover, in document 2 it is indicated that in similar compounds the bond is on the nitrogen atom in position 1. Therefore, no particular difficulty is discovered in specifying position 1 as the position of the bond to the nitrogen atom in a compound disclosed in document 1. Similarly, as regards the groups which can be bound to the nitrogen atom, in addition to the relevant disclosure in document 1 referred to above, document 2 indicates the use of a heteroaryl group as the group bound to the nitrogen atom on position 1. Therefore, a person skilled in the art could easily use specified groups selected from among heteroaryl groups in compounds having the same structure described in claims 1-33; and there is no discernable surprising effect as a result of so doing.